

09/844,646

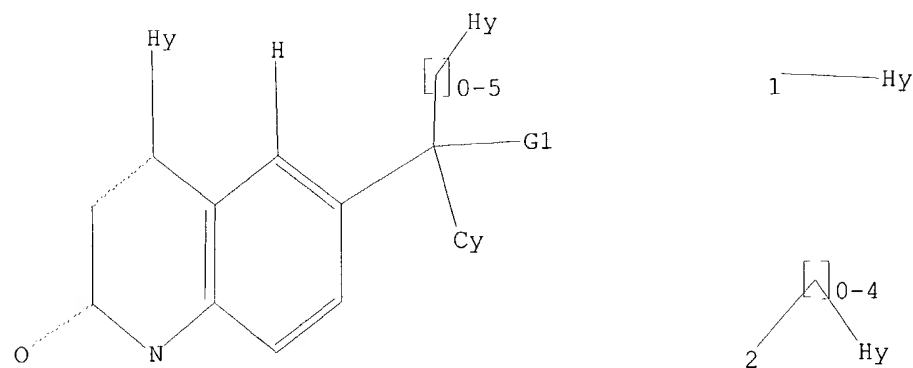
\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 14:46:22 ON 23 MAR 2004

=> d 11

L1 HAS NO ANSWERS

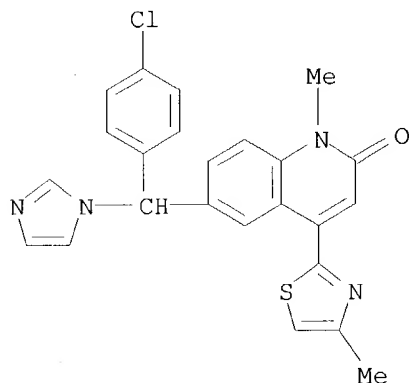
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> d 1-11

L3 ANSWER 1 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 439868-38-9 REGISTRY  
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl-4-(4-methyl-2-thiazolyl)- (9CI) (CA INDEX NAME)  
MF C24 H19 Cl N4 O S  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

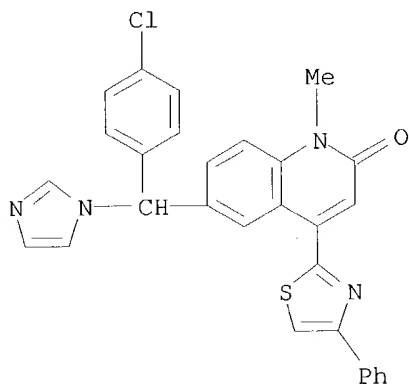


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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

09/844,646

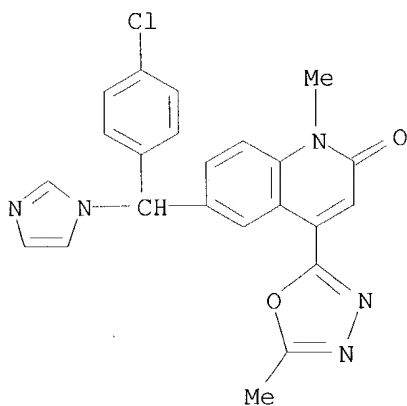
L3 ANSWER 2 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 439868-37-8 REGISTRY  
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl-4-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)  
MF C29 H21 Cl N4 O S  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER



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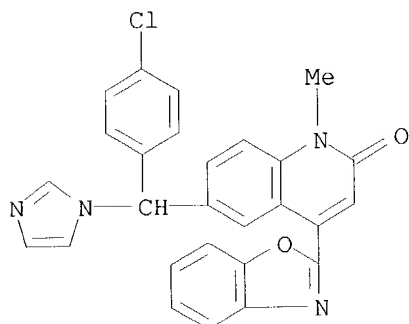
L3 ANSWER 3 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 439868-36-7 REGISTRY  
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl-4-(5-methyl-1,3,4-oxadiazol-2-yl)- (9CI) (CA INDEX NAME)  
MF C23 H18 Cl N5 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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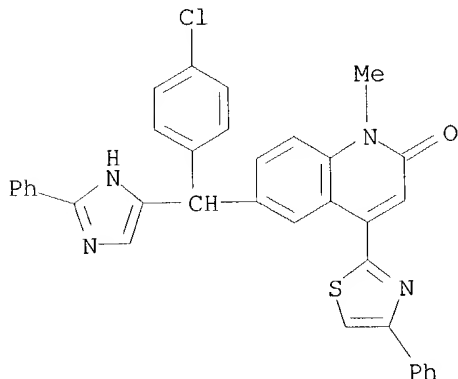
L3 ANSWER 4 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 439868-35-6 REGISTRY  
CN 2(1H)-Quinolinone, 4-(2-benzoxazolyl)-6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl- (9CI) (CA INDEX NAME)  
MF C27 H19 Cl N4 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 5 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 439868-28-7 REGISTRY  
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl) (2-phenyl-1H-imidazol-4-yl)methyl]-1-methyl-4-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
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SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

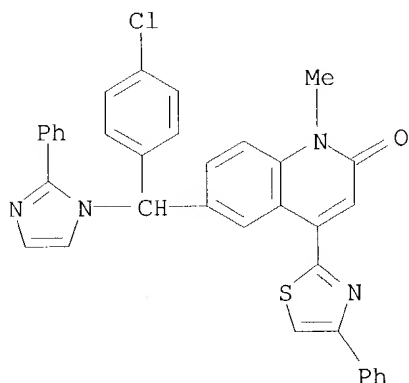


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\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 6 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 439868-27-6 REGISTRY  
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)(2-phenyl-1H-imidazol-1-yl)methyl]-1-methyl-4-(4-phenyl-2-thiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)  
MF C35 H25 Cl N4 O S . Cl H  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER  
CRN (439868-26-5)



● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 7 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 439868-26-5 REGISTRY  
CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)(2-phenyl-1H-imidazol-1-yl)methyl]-1-methyl-4-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)  
MF C35 H25 Cl N4 O S  
CI COM  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

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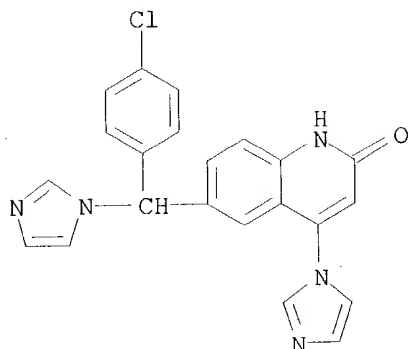
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1 REFERENCES IN FILE CA (1907 TO DATE)  
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Page 5

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SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER



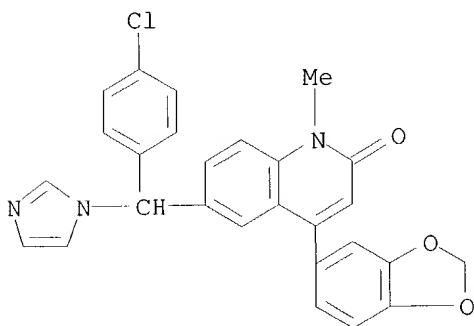
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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 10 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 190898-46-5 REGISTRY  
CN 2-(1H)-Quinolinone, 4-(1,3-benzodioxol-5-yl)-6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)  
MF C27 H20 Cl N3 O3 . C2 H2 O4  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

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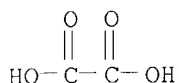
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CM 2

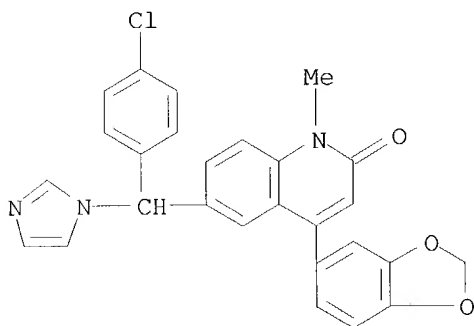
CRN 144-62-7  
CMF C2 H2 O4

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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 11 OF 11 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 190898-45-4 REGISTRY  
CN 2(1H)-Quinolinone, 4-(1,3-benzodioxol-5-yl)-6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-1-methyl- (9CI) (CA INDEX NAME)  
MF C27 H20 Cl N3 O3  
CI COM  
SR CA



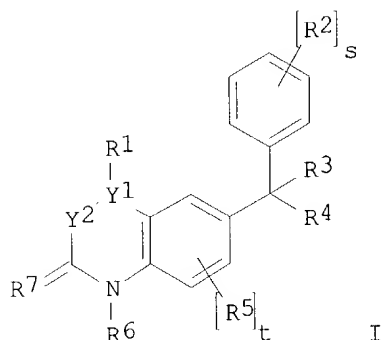
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

=> d ibib abs hitrn 1-2

L4 ANSWER 1 OF 2 CA COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 137:63253 CA  
TITLE: Preparation of farnesyl transferase inhibiting  
4-heterocyclylquinolines and 4-  
heterocyclylquinazolines  
INVENTOR(S): Angibaud, Patrick Rene; Venet, Marc Gaston; Poncelet,  
Virginie Sophie  
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
SOURCE: PCT Int. Appl., 63 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051834	A1	20020704	WO 2001-EP15232	20011221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,  
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,  
 US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,  
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 EP 1351954 A1 20031015 EP 2001-995712 20011221  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 PRIORITY APPLN. INFO.: EP 2000-204716 A 20001227  
 WO 2001-EP15232 W 20011221  
 OTHER SOURCE(S): MARPAT 137:63253  
 GI



AB The title compds. [I; s = 0-5; t = 0-3; Y1Y2 = C:N, C:CR9, CHNR9, CHCHR9  
 (wherein R9 = H, halo, CN, etc.); R1 = ZHet (Z = a bond, O, S, etc.; Het =  
 (un)substituted monocyclic or bicyclic heterocyclic ring contg. one or  
 more heteroatoms selected from O, S and N); R2 = N3, OH, halo, etc.; R3 =  
 H, halo, CN, etc.; R4 = (un)substituted imidazolyl, triazolyl, pyridyl; R5  
 = CN, OH, halo, etc.; R6 = H, alkyl, cyanoalkyl, etc.; R7 = O, S; or R6  
 and R7 together from N:NN, CONHN, etc.] having farnesyl transferase  
 inhibiting activity and useful in inhibiting tumor growth (no biol. data),  
 were prepd. and formulated. E.g., a multi-step synthesis of quinolinone I  
 [s = 1; t = 0; Y1Y2 = C:CH; R1 = 1H-imidazol-1-yl; R2 = 4-Cl; R3 = H; R4 =  
 1H-imidazol-1-yl; R6 = H; R7 = O] was given.

IT **439868-17-4P 439868-23-2P 439868-26-5P**  
**439868-27-6P 439868-28-7P 439868-35-6P**  
**439868-36-7P 439868-37-8P 439868-38-9P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(prepn. of farnesyl transferase inhibiting 4-heterocyclylquinolines and  
 4-heterocyclylquinazolines)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CA COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 127:34143 CA

TITLE: Farnesyl transferase inhibiting 2-quinolone  
 derivatives

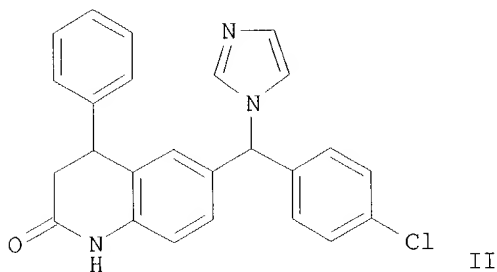
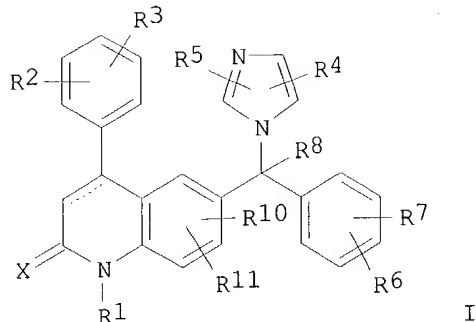
INVENTOR(S): End, David William; Venet, Marc Gaston; Angibaud,  
 Patrick Rene; Sanz, Gerard Charles



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PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; End, David William;  
Venet, Marc Gaston; Angibaud, Patrick Rene; Sanz,  
Gerard Charles  
SOURCE: PCT Int. Appl., 50 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9716443	A1	19970509	WO 1996-EP4661	19961025
W: AL, AM, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9674933	A1	19970522	AU 1996-74933	19961025
AU 712435	B2	19991104		
CN 1200732	A	19981202	CN 1996-197917	19961025
CN 1101391	B	20030212		
JP 11514635	T2	19991214	JP 1996-517051	19961025
EP 1019395	A1	20000719	EP 1996-937249	19961025
EP 1019395	B1	20020130		
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EP 1106610	A1	20010613	EP 2001-200450	19961025
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
AT 212627	E	20020215	AT 1996-937249	19961025
PT 1019395	T	20020731	PT 1996-96937249	19961025
ES 2171736	T3	20020916	ES 1996-937249	19961025
PL 184168	B1	20020930	PL 1996-328230	19961025
SK 282642	B6	20021008	SK 1998-556	19961025
IL 123567	A1	20021110	IL 1996-123567	19961025
CZ 290954	B6	20021113	CZ 1998-1272	19961025
ZA 9609087	A	19980429	ZA 1996-9087	19961029
NO 9800928	A	19980429	NO 1998-928	19980304
US 5968952	A	19991019	US 1998-66441	19980429
HK 1027576	A1	20020524	HK 2000-106863	20001027
PRIORITY APPLN. INFO.:			EP 1995-202945	A 19951031
			EP 1996-937249	A3 19961025
			WO 1996-EP4661	W 19961025
OTHER SOURCE(S):		MARPAT 127:34143		
GI				



AB The invention concerns compds. I and their stereoisomers and pharmaceutically acceptable acid or base addn. salts [wherein dotted line = optional pi bond; X = O, S; R1-R11 = H, variety of substituents; adjacent R2R3 may form a bivalent radical]. I are inhibitors of farnesyl protein transferase (FPT), and are thus useful as inhibitors of tumors, other malignant and benign proliferative diseases, and angiogenesis. For instance, 3,4-dihydro-4-phenyl-2(1H)-quinolinone was acylated by 4-ClC6H4CO2H and polyphosphoric acid. The resulting ketone was reduced to an alc. with NaBH4, and the alc. was treated with NaH and 1,1'-carbonylbis-1H-imidazole to give title compd. II. Selected I had IC50 values of 0.0034-3.2 .mu.M for inhibition of FPT in vitro. In a ras-transformed cell phenotype reversion assay, selected I had IC50 values as low as 53 nM.

IT **190898-46-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of quinolone derivs. as farnesyl transferase inhibitors)

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(FILE 'HOME' ENTERED AT 14:46:22 ON 23 MAR 2004)

FILE 'REGISTRY' ENTERED AT 14:46:29 ON 23 MAR 2004

L1 STRUCTURE UPLOADED  
L2 0 S L1 SAM  
L3 11 S L1 FULL

FILE 'CA' ENTERED AT 14:47:05 ON 23 MAR 2004

L4 2 S L3

=>

09/844,646

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Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 14:47:37 ON 23 MAR 2004